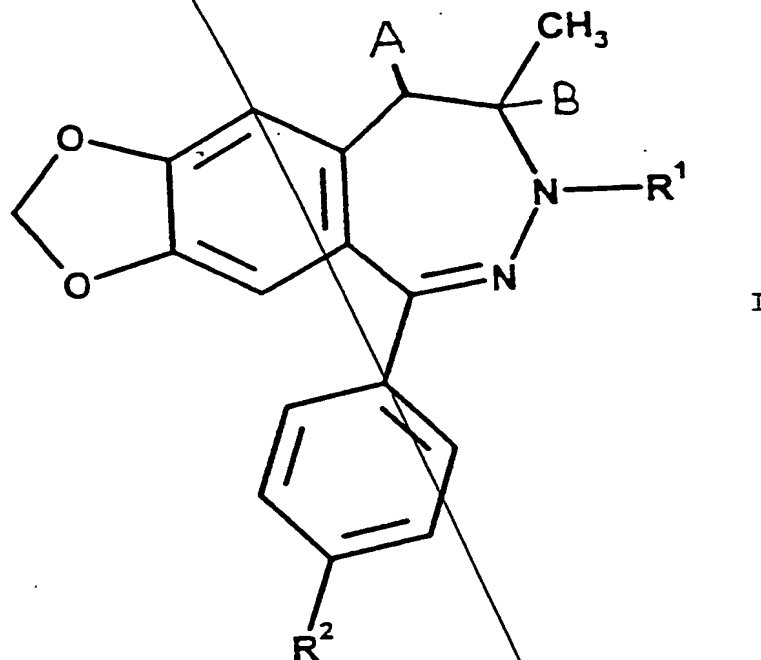


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## Claims:

1. A 1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is

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optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

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*B1*  
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$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group, a  $C_{3-6}$  cycloalkyl group or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is

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optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, and pharmaceutically suitable acid addition salts thereof.

2. A 1,3-dioxolo[4,5-h][2,3]benzodiazepine derivative as claimed in Claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a chloro atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s) or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom

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B<sup>1</sup>  
Cont

as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or  $R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups, n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,  
 $R^2$  stands for a nitro group or an amino group, and pharmaceutically suitable acid addition salts thereof.

3. A 1,3-dioxolo/4,5-h/2,3/benzodiazepine derivative as claimed in Claim 2, wherein  $R^3$  and  $R^4$  represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group or a  $C_{1-2}$  alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group, or  $R^3$  and  $R^4$  form, together with the adjacent nitrogen atom and optionally a further nitrogen atom or oxygen atom, an imidazolyl, morpholino or piperazinyl group, wherein

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the piperazinyl group is substituted by  
 a methoxyphenyl group,  
 n has a value of 0 or 1,  
 m has a value of 0 or 1,  
 $R^2$  stands for a nitro group or an amino group,  
 A represents a hydrogen atom,  
 B means a hydrogen atom,  
 and pharmaceutically suitable acid addition  
 salts thereof.

4. A 1,3-dioxolo/4,5-h//2,3/benzodiazepine  
 derivative as claimed in Claim 3, wherein  
 $R^3$  represents a hydrogen atom,  
 $R^4$  stands for a cyclopropyl group, a methoxy  
 group or an amino group,  
 n has a value of 0,  
 m has a value of 0,  
 $R^2$  means an amino group,  
 A represents a hydrogen atom,  
 B means a hydrogen atom,  
 and pharmaceutically suitable acid addition  
 salts thereof.

5. A 8-methyl-7H-1,3-dioxolo/4,5-h//2,3/-  
 benzodiazepine derivative as claimed in Claim  
 1, wherein in formula I  
 A forms together with B a valence bond  
 between the carbon atoms in positions  
 8 and 9,  
 $R^1$  represents a group of the formula  
 $-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein  
 $R^6$  stands for a halo atom, a phenoxy group,  
 a  $\text{C}_{1-4}$  alkoxy group or a group of the  
 formula  $-\text{NR}^7\text{R}^8$ , wherein

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$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two  $C_{1-2}$  alkoxy group(s), or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a  $C_{1-4}$  alkoxy group,

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, and pharmaceutically suitable acid addition salts thereof.

6. A 8-methyl-7H-1,3-dioxolo/4,5-h//2,3/-

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benzodiazepine derivative as claimed in Claim 5, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

$R^2$  represents a nitro group or an amino group,

$R^1$  stands for a group of the formula

$-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein

$R^6$  means a chloro atom, a phenoxy group, or a group of the formula  $-\text{NR}^7\text{R}^8$ , wherein

$R^7$  and  $R^8$  represent, independently,

a hydrogen atom, a guanyl group or

a  $\text{C}_{1-3}$  alkyl group optionally

substituted by a phenyl group, a

dimethoxyphenyl group or a morpholino group, or

$R^7$  and  $R^8$  form with the adjacent nitrogen

atom an oxopyrrolidinyl group, a

phthalimido group or a saturated

heterocyclic group having 5 or 6

members and comprising one or two

nitrogen atom(s) or a nitrogen and

an oxygen atom as the heteroatom,

and said heterocyclic group is

optionally substituted by one or

two identical or different

substituent(s) selected from the

group consisting of a hydroxy group,

a methoxyphenyl group, a fluorophenyl

group, a benzyl group or a (methoxy-

phenoxy)-(hydroxypropyl) group, —

p has a value of 0, 1 or 2,

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and pharmaceutically suitable acid addition salts thereof.

7. A 8-methyl-7H-1,3-dioxolo/4,5-h//2,3/-benzodiazepine derivative as claimed in Claim 6, wherein  $R^2$  represents an amino group,  $R^1$ , A and B are as defined in Claim 6, and pharmaceutically suitable acid addition salts thereof.

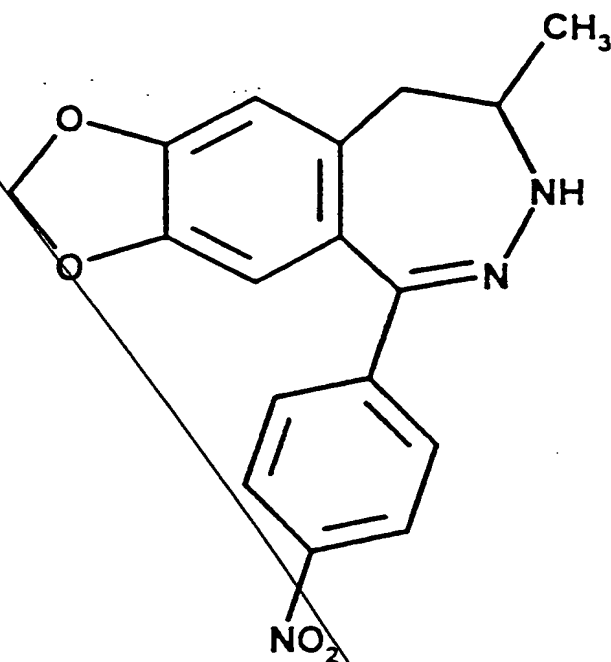
8. A process for the preparation of a 1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative of the formula I, wherein  $R^1$  and  $R^2$  are as defined in Claim 1, and pharmaceutically suitable acid addition salts thereof, characterized in that

a) for the preparation of a compound of the formula I, wherein  $R^1$  represents a group of the formula  $-(CH_2)_n-CO-(CH_2)_m-R$ , wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2,  $R^2$  means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benzodiazepine of the formula III

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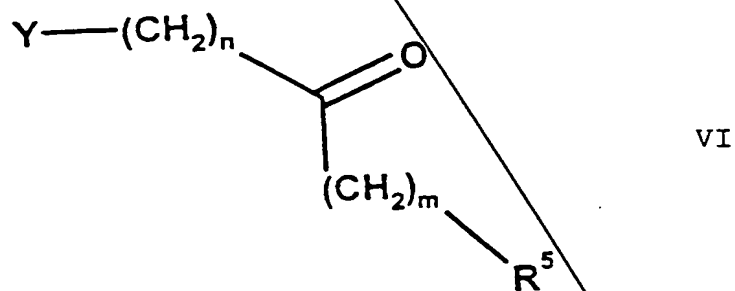
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III

is reacted with a reagent of the formula VI



VI

wherein Y represents a leaving group,  $R^5$  is a halo atom or a pyridyl group; or

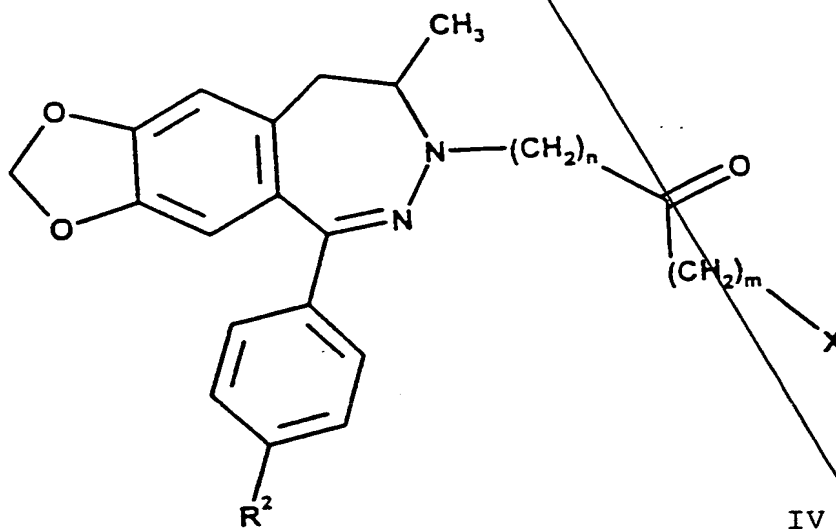
b) for the preparation of a compound of the formula I, wherein  $R^1$  represents a group of the formula  $-(CH_2)_n-CO-(CH_2)_m-R$ , wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0,  $R^2$  means a nitro group, A and B represent a

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hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

c) for the preparation of a compound of the formula I, wherein  $R^1$  represents a group of the formula  $-(CH_2)_n-CO-(CH_2)_m-R$ , wherein R stands for a group of the formula  $-NR^3R^4$ , wherein  $R^3$ ,  $R^4$ , n and m are as defined in connection with formula I,  $R^2$  means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and  $R^5$  represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine derivative of the formula IV

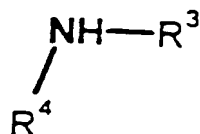


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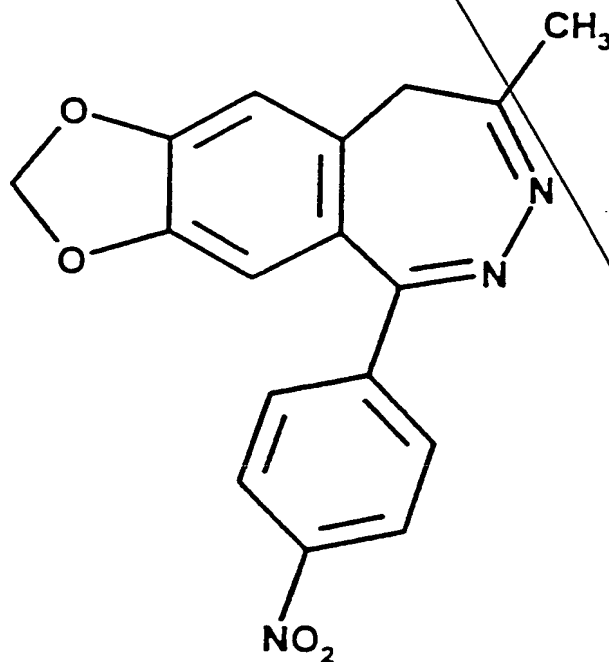
wherein X stands for a leaving group, n and m are as stated above, is reacted with an amine of the formula VII



VII

wherein  $\text{R}^3$  and  $\text{R}^4$  are as stated above; or

d) for the preparation of a compound of the formula I, wherein  $\text{R}^1$  stands for a group of the formula  $-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein  $\text{R}^6$  represents a halo atom, a phenoxy group or a  $\text{C}_{1-4}$  alkoxy group, p has a value of 0, 1 or 2, A forms together with B a valence bond,  $\text{R}^2$  means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine of the formula II



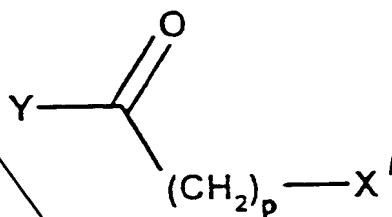
II

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is reacted with an acylating agent of the formula IX



IX

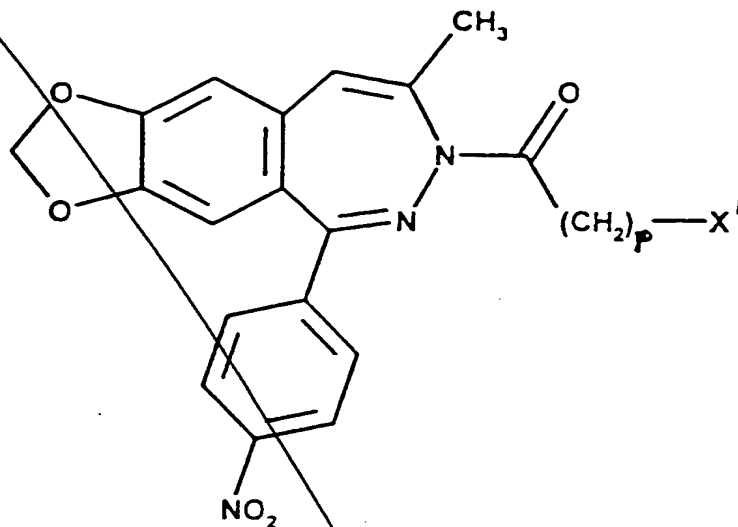
wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C<sub>1-4</sub> alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I, wherein R<sup>1</sup> stands for a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup>, R<sup>8</sup> and p are as defined in connection with the formula I. A forms together with B a valence bond, R<sup>2</sup> means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo-/4,5-h//2,3/benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII

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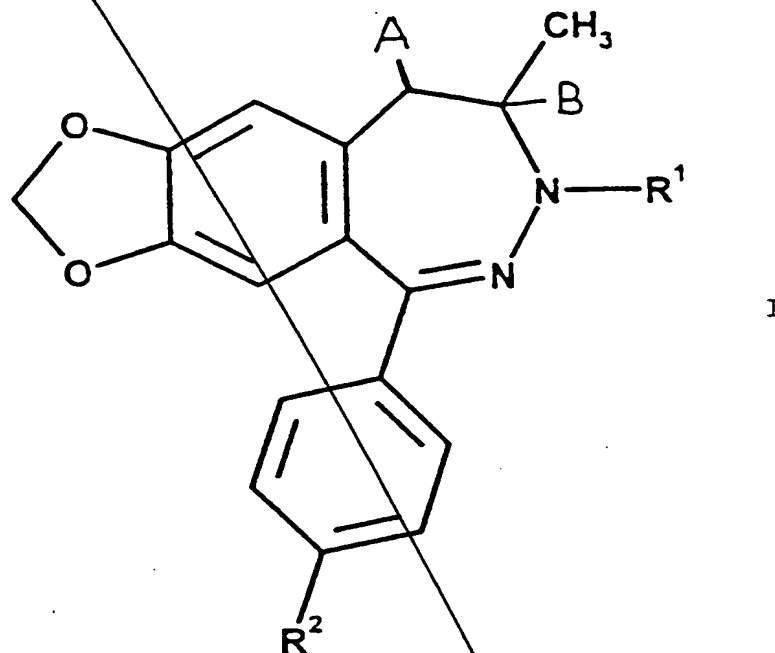
wherein  $X'$  and  $p$  are as defined above, is reacted with an amine of the formula  $HNR^7R^8$ , wherein  $R^7$  and  $R^8$  are as stated above;

and, if desired, an obtained compound of the formula I, wherein  $R^2$  represents a nitro group,  $R^1$ , A and B are as defined in connection with the formula I, is transformed into a compound of the formula I, wherein  $R^2$  stands for an amino group, by reduction;

and, if desired, an obtained compound of the formula I, wherein  $R^2$  represents an amino group,  $R^1$ , A and B are as defined in connection with the formula I, is reacted with a  $C_{1-4}$  alkanecarboxylic acid or a reactive acylating derivative thereof;

and, if desired, an obtained base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

9. A pharmaceutical composition comprising  
a 1,3-dioxolo/4,5-h//2,3/benzodiazepine  
derivative of the formula I



a C<sub>1-4</sub> alkyl group which latter is

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optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

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$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group, a  $C_{3-6}$  cycloalkyl group or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is

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optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,  
R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

10. A pharmaceutical composition as claimed in Claim 9 comprising a 1,3-dioxolo- /4,5-h//2,3/benzodiazepine derivative of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a chloro atom, a pyridyl group or a group of the formula  $-NR^3R^4$ ,

wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s) or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated

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heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or  $R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups, n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

11. A pharmaceutical composition as claimed in Claim 10 comprising a 1,3-dioxolo-/4,5-h//2,3/benzodiazepine derivative of the formula I, wherein

$R^3$  and  $R^4$  represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group or a  $C_{1-2}$  alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl

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group, or  
R<sup>3</sup> and R<sup>4</sup> form, together with the adjacent  
nitrogen atom and optionally a further  
nitrogen atom or oxygen atom, an imidazolyl,  
morpholino or piperazinyl group, wherein  
the piperazinyl group is substituted by  
a methoxyphenyl group,  
n has a value of 0 or 1,  
m has a value of 0 or 1,  
R<sup>2</sup> stands for a nitro group or an amino group,  
A represents a hydrogen atom,  
B means a hydrogen atom,  
or a pharmaceutically suitable acid addition  
salt thereof as the active ingredient.

12. A pharmaceutical composition as  
claimed in Claim 11 comprising a 1,3-dioxolo-  
/4,5-h//2,3/benzodiazepine derivative of the  
formula I, wherein

R<sup>3</sup> represents a hydrogen atom,  
R<sup>4</sup> stands for a cyclopropyl group, a methoxy  
group or an amino group,  
n has a value of 0,  
m has a value of 0,  
R<sup>2</sup> means an amino group,  
A represents a hydrogen atom,  
B means a hydrogen atom,  
or a pharmaceutically suitable acid addition  
salt thereof as the active ingredient.

13. A pharmaceutical composition as  
claimed in Claim 9 comprising an 8-methyl-  
-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine  
derivative of the formula I, wherein

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A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed

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the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

14. A pharmaceutical composition as claimed in Claim 13 comprising an 8-methyl-7H-1,3-dioxolo[4,5-h//2,3]benzodiazepine derivative of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>2</sup> represents a nitro group or an amino group,

R<sup>1</sup> stands for a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> means a chloro atom, a phenoxy group, or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> represent, independently, a hydrogen atom, a guanyl group or a C<sub>1-3</sub> alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

R<sup>7</sup> and R<sup>8</sup> form with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom,

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and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxyphenoxy)-(hydroxypropyl) group,

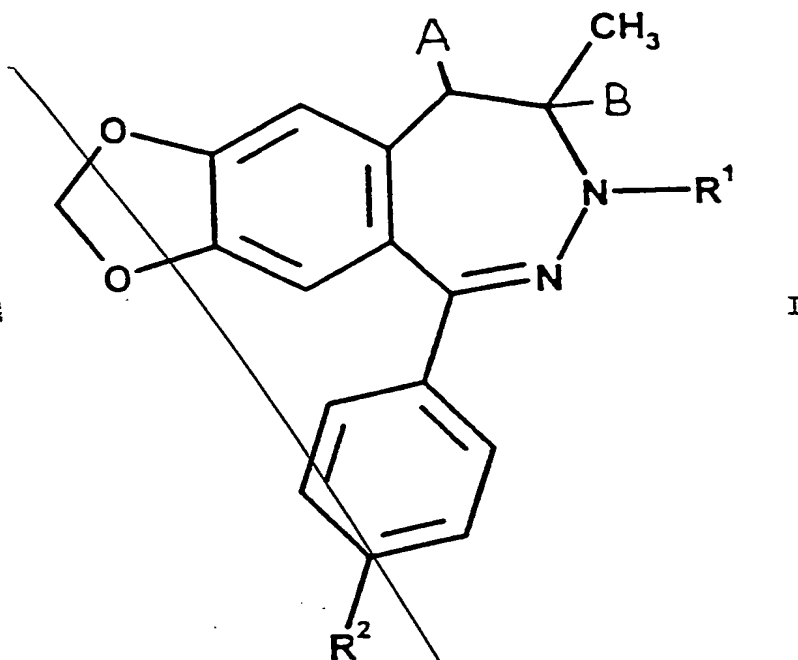
p has a value of 0, 1 or 2,  
or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

15. A pharmaceutical composition as claimed in Claim 14 comprising an 8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine derivative of the formula I, wherein  $R^2$  represents an amino group,  $R^1$ , A and B are as defined in Claim 6, or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

16. A method of treatment in which a patient suffering especially from epilepsy or a neurodegenerative disease or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo[4,5-h][2,3]benzodiazepine derivative of the formula I

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wherein

A represents a hydrogen atom,

B means a hydrogen atom,

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein  $R^3$  and  $R^4$  mean, independently, a hydrogen atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and



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comprising 1 to 3 nitrogen atom(s)  
 or a nitrogen atom and an oxygen  
 atom as the heteroatom, and said  
 heterocyclic group is optionally  
 substituted by a phenyl group which  
 latter is optionally substituted  
 by 1 to 3 substituent(s), wherein  
 the substituent consists of a C<sub>1-4</sub>  
 alkoxy group, or  
 R<sup>3</sup> and R<sup>4</sup> form, with the adjacent  
 nitrogen atom and optionally with  
 a further nitrogen atom or an  
 oxygen atom, a saturated or  
 unsaturated heterocyclic group having  
 5 or 6 members, being optionally  
 substituted by a phenyl group that  
 is optionally substituted by 1 to  
 3 substituents, wherein the  
 substituent is a C<sub>1-4</sub> alkoxy group,  
 n has a value of 0, 1 or 2,  
 m has a value of 0, 1 or 2, or  
 A forms together with B a valence bond  
 between the carbon atoms in positions  
 8 and 9, and in this case  
 R<sup>1</sup> represents a group of the formula  
 -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein  
 R<sup>6</sup> stands for a halo atom, a phenoxy group,  
 a C<sub>1-4</sub> alkoxy group or a group of the  
 formula -NR<sup>7</sup>R<sup>8</sup>, wherein  
 R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen  
 atom, a guanyl group, a C<sub>3-6</sub> cyclo-  
 alkyl group or a C<sub>1-4</sub> alkyl group

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which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo

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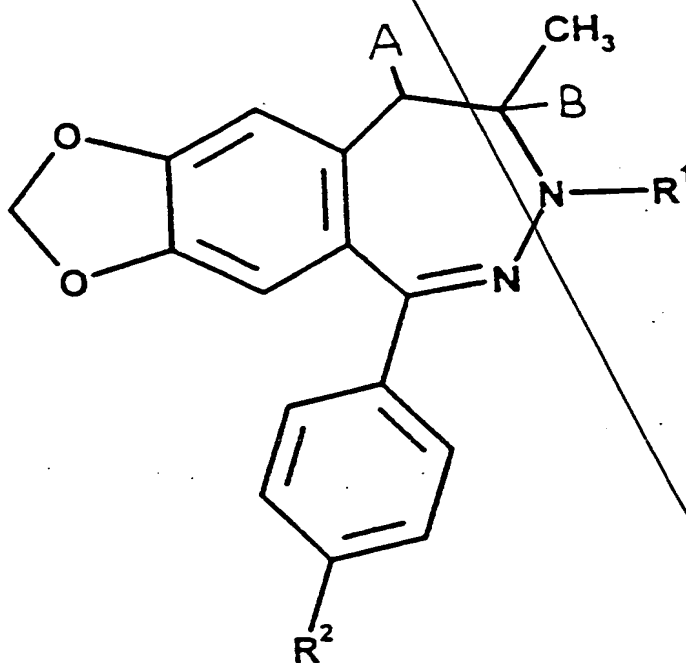
atom or a  $C_{1-4}$  alkoxy group, and, in case of the phenoxy( $C_{1-4}$  alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, or a pharmaceutically suitable acid addition salt thereof.

17. A process for preparing a pharmaceutical composition suitable for the treatment of especially epilepsy, a neuro-degenerative disease or a state after stroke, characterized in that a 1,3-dioxolo/4,5-h/-/2,3/benzodiazepine derivative of the formula

I



I

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wherein

A represents a hydrogen atom,

B means a hydrogen atom,

 $R^1$  stands for a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$ , whereinR represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein  $R^3$  and  $R^4$  mean, independently, a hydrogen

atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a  $C_{1-4}$  alkoxy group, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that

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is optionally substituted by 1 to 3 substituents, wherein the substituent is a  $C_{1-4}$  alkoxy group,  $n$  has a value of 0, 1 or 2,  $m$  has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

$R^1$  represents a group of the formula

$-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein

$R^6$  stands for a halo atom, a phenoxy group, a  $C_{1-4}$  alkoxy group or a group of the formula  $-\text{NR}^7\text{R}^8$ , wherein

$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group, a  $C_{3-6}$  cycloalkyl group or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group

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having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,  
R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.

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